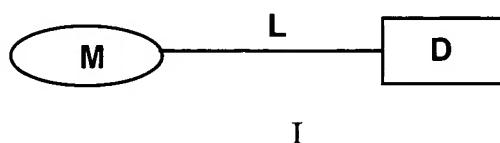


## **AMENDMENTS TO THE CLAIMS**

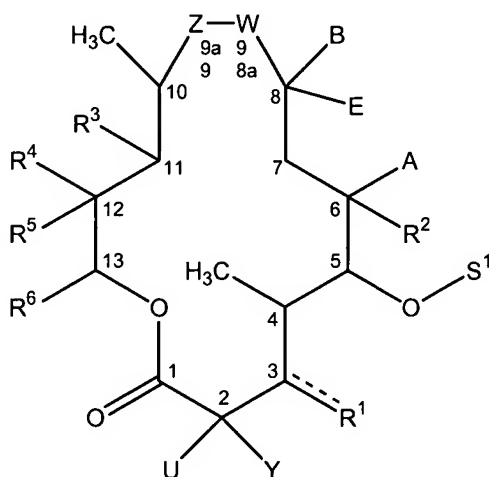
1. (Currently Amended) A compound of Formula I:



wherein

**M** represents a group of

**Formula II:**



## II

wherein:

Z and W independently are:  $>C=O$ ,  $>CH_2$ ,  $>CH-NR_tR_s$ ,  $>N-R_N$  or  $>C=N-R_M$  or a bond

wherein:

$R_t$  and  $R_s$  independently are hydrogen or alkyl;

$R_M$  is hydroxy, alkoxy, substituted alkoxy or  $OR^p$ ;

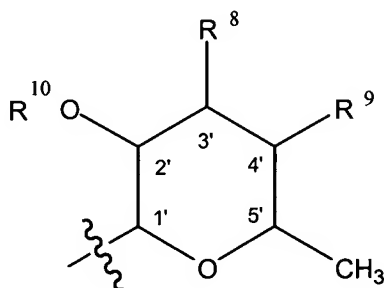
$R_N$  is hydrogen,  $R^p$ , alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, or  $-C(X)-NR_tR_s$ ; wherein X is =O or =S;

provided that Z and W cannot both simultaneously be,  $>C=O$ ,  $>CH_2$ ,  $>CH-NR_tR_s$ ,  $>N-R_N$  or  $>C=N-R_M$  or a bond,

U and Y independently are hydrogen, halogen, alkyl, or hydroxyalkyl;

$R^1$  is hydroxy,  $OR^p$ ,  $-O-S^2$  group or an =O;

$S^1$  is a sugar moiety of formula:



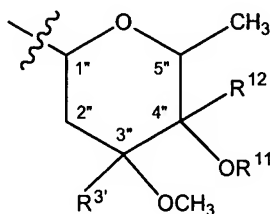
wherein

$R^8$  and  $R^9$  are both hydrogen or together form a bond, or  $R^9$  is hydrogen and  $R^8$  is  $-N(CH_3)R^y$ , wherein

$R^y$  is  $R^p$ ,  $R^z$  or  $-C(O)R^z$  wherein  $R^z$  is hydrogen or alkyl or alkenyl or alkynyl or cycloalkyl or aryl or heteroaryl or alkyl substituted with  $C_2$ - $C_7$ -alkyl,  $C_2$ - $C_7$ -alkenyl,  $C_2$ - $C_7$ -alkynyl, aryl or heteroaryl

$R^{10}$  is hydrogen or  $R^p$ ;

$S^2$  is a sugar moiety of formula :



wherein:

$R^{3'}$  is hydrogen or methyl;

$R^{11}$  is hydrogen,  $R^p$  or  $O-R^{11}$  is a group that with  $R^{12}$  and with  $C/4''$  carbon atom forms a  $>C=O$  or epoxy group;

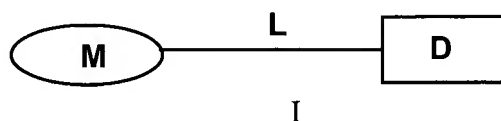


flufenamic acid, flunixin, flunoxaprofen, flurbiprofen, glutametacin, glycol salicylate, ibufenac, ibuprofen, ibuproxam, indomethacin, indoprofen, isofezolac, isoxepac, isoxicam, ketoprofen, ketorolac, lornoxicam, loxoprofen, meclofenamic acid, mefenamic acid, meloxicam, mesalamine, metiazinic acid, mofezolac, montelukast, nabumetone, naproxen, niflumic acid, nimesulide, olsalazine, oxaceprol, oxaprozin, oxyphenbutazone, paracetamol, parsalmide, perisoxal, phenyl-acethyl-salicylate, phenylbutazone, phenylsalicylate, pyrazolac, piroxicam, pirprofen, pranoprofen, protizinic acid, reserveratol, salacetamide, salicylamide, salicylamide-O-acetyl acid, salicylsulphuric acid, salicin, salicylamide, salsalate, sulindac, suprofen, suxibutazone, tamoxifen, tenoxicam, tiaprofenic acid, tiaramide, ticlopridine, tinoridine, tolfenamic acid, tolmetin, tropesin, xenbucin, ximoprofen, zaltoprofen, zomepirac, tomoxiprol, zafirlukast and cyclosporin;

**L** is a linker molecule to which each of **M** and **D** are covalently linked;

or a pharmaceutically acceptable salt or solvate thereof, or an individual diastereoisomer thereof.

2. (Currently Amended) A compound of the Formula I



wherein **M** represents a group of  
Formula II:



Docket No.: 03818/100L651-US1

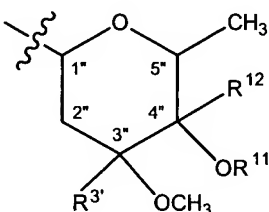
wherein

R<sup>8</sup> and R<sup>9</sup> are both hydrogen or together form a bond, or R<sup>9</sup> is hydrogen and R<sup>8</sup> is -N(CH<sub>3</sub>)R<sup>y</sup>, wherein

R<sup>y</sup> is R<sup>p</sup>, R<sup>z</sup> or -C(O)R<sup>z</sup> wherein R<sup>z</sup> is hydrogen or alkyl or alkenyl or alkynyl or cycloalkyl or aryl or heteroaryl or alkyl substituted with C<sub>2</sub>-C<sub>7</sub>-alkyl, C<sub>2</sub>-C<sub>7</sub>-alkenyl, C<sub>2</sub>-C<sub>7</sub>-alkynyl, aryl or heteroaryl

$R^{10}$  is hydrogen or  $R^p$ ;

S<sup>2</sup> is a sugar moiety of formula :



wherein:

R<sup>3'</sup> is hydrogen or methyl;

R<sup>11</sup> is hydrogen, R<sup>p</sup> or O-R<sup>11</sup> is a group that with R<sup>12</sup> and with C/4" carbon atom forms a >C=O or epoxy group;

R<sup>12</sup> is hydrogen or a group that with O-R<sup>11</sup> group and with C/4" carbon atom forms a >C=O or epoxy group;

R<sup>2</sup> is hydrogen, hydroxy, OR<sup>p</sup> or alkoxy

A is hydrogen or methyl;

B is methyl or epoxy;

E is hydrogen or halogen;

R<sup>3</sup> is hydroxy, OR<sup>p</sup>, alkoxy or R<sup>3</sup> is a group that with R<sup>5</sup> and with C/11 and C/12 carbon atoms forms a cyclic carbonate or carbamate; or if W or Z is >N-R<sub>N</sub> R<sup>3</sup> is a group that with W or Z forms a cyclic carbamate;







A and B are methyl;

E is hydrogen;

R<sup>2</sup> is hydroxy or methoxy;

S<sup>1</sup> represents desosamine sugar wherein R<sup>8</sup> is selected from: hydrogen, methyl, amino, C<sub>1</sub>-C<sub>6</sub> alkylamino or C<sub>1</sub>-C<sub>6</sub> dialkylamino;

R<sup>9</sup> and R<sup>10</sup> are hydrogen;

R<sup>1</sup> is hydroxy or the O-S<sup>2</sup> group wherein the S<sup>2</sup> represents a cladinoso sugar wherein:

R<sup>11</sup> is hydrogen, or O-R<sup>11</sup> is a group that with R<sup>12</sup> and with C/4" carbon atom forms a >C=O or epoxy group; R<sup>12</sup> is hydrogen or a group that with O-R<sup>11</sup> and with C/4" carbon atom forms a >C=O or epoxy group;

R<sup>13</sup> is methyl;

U is hydrogen

Y is methyl;

R<sub>6</sub> is hydroxy, methyl or ethyl;

R<sup>5</sup> is hydrogen, hydroxy, methoxy or a group that with R<sup>3</sup> and with C/11 and C/12 carbon atoms forms a cyclic carbonate or carbamate bridge;

R<sup>3</sup> is hydroxy or a group that forms a cyclic carbamate bridge with W or Z, or R<sup>3</sup> is a group that with R<sup>5</sup> and with C/11 and C/12 carbon atoms forms a cyclic carbonate or carbamate bridge;

R<sup>4</sup> is methyl;

provided that the linkage is through the nitrogen of Z at N/9a position or through the carbon of R<sup>12</sup> or through the oxygen of R<sup>11</sup> both at C/4" position of the S<sup>2</sup> sugar.

6. (Previously Presented) A compound according to claim 2 wherein

X<sup>1</sup> is -CH<sub>2</sub>- or -OC(O)-;

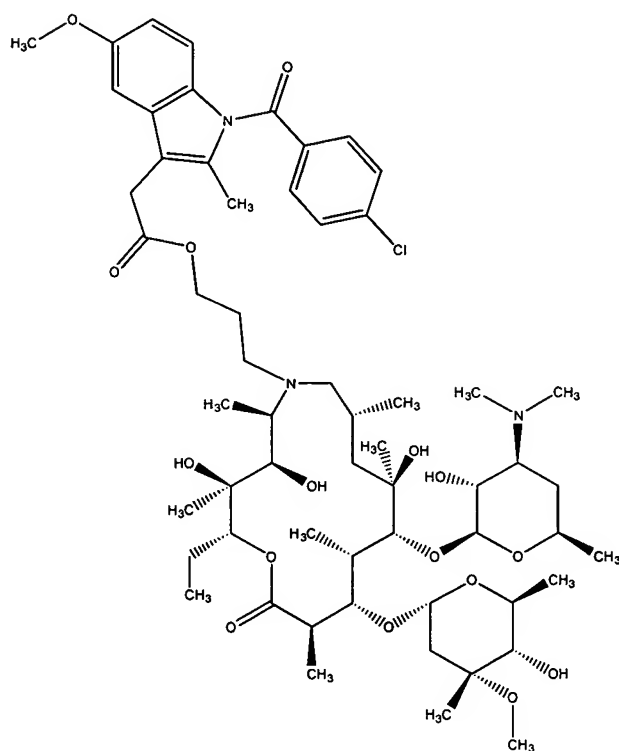
X<sup>2</sup> is -NHC(O)-;

Q is -NH- or absent.

7. (Previously Presented) A compound according to claim 2 wherein

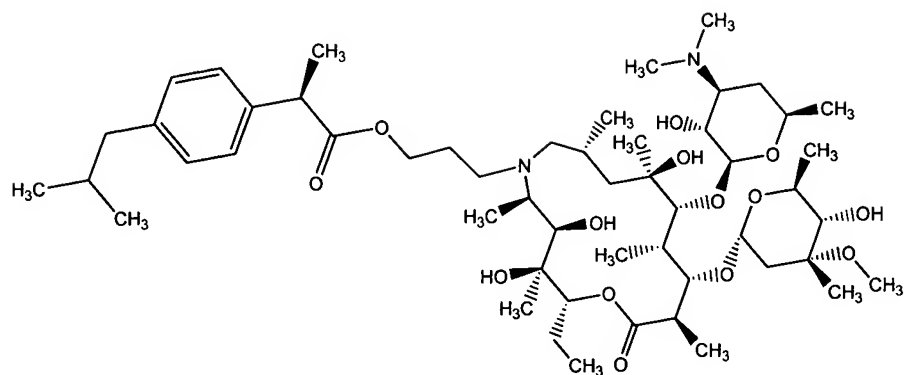
**D** is derived from a NSAID selecting from the group consisting of: S-(+) - ibuprofen, indomethacin, flurbiprofen, naproxen, ketoprofen, acetyl salicylic acid, sulindac, etodolac, ketorolac, suprofen, flunixin, diclofenac sodium and tolmetin sodium.

8. (Previously Presented) A compound of the formula



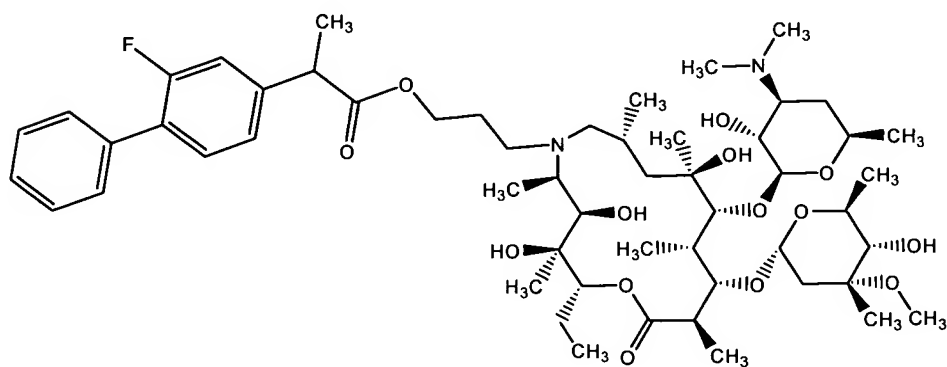
or a pharmaceutically acceptable salt or solvate thereof.

9. (Previously Presented) A compound of the formula



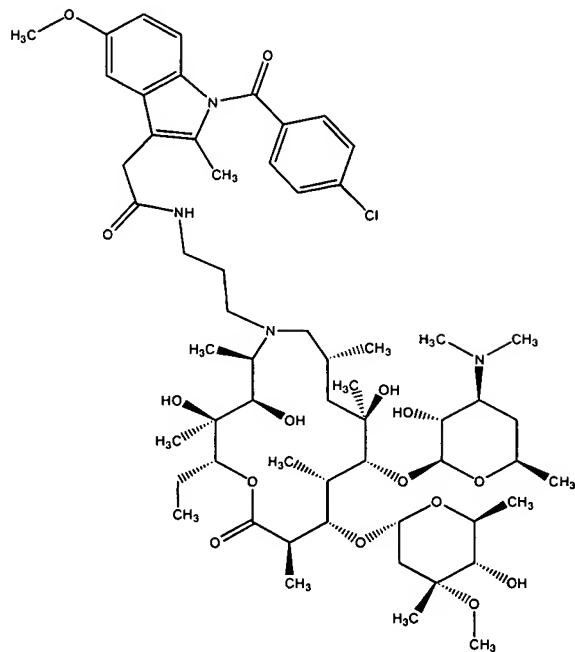
or a pharmaceutically acceptable salt or solvate thereof.

10. (Previously Presented) A compound of the formula



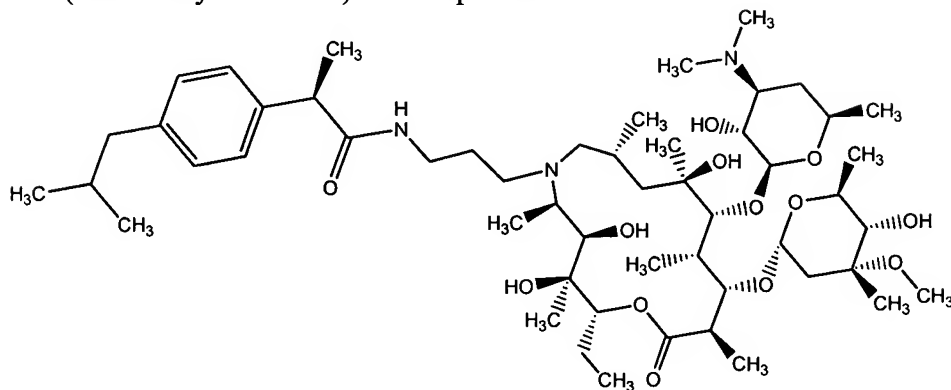
or a pharmaceutically acceptable salt or solvate thereof.

11. (Previously Presented) A compound of the formula



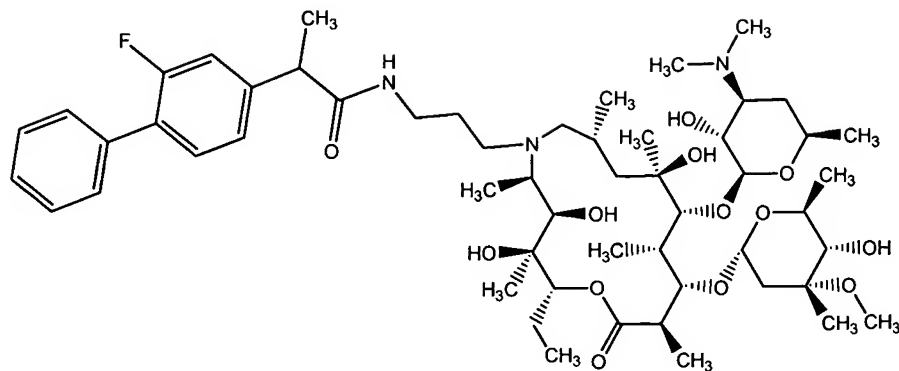
or a pharmaceutically acceptable salt or solvate thereof.

12. (Previously Presented) A compound of the formula



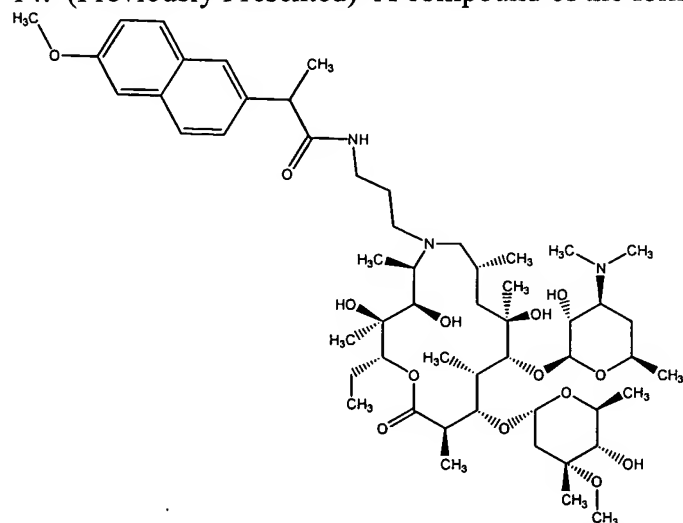
or a pharmaceutically acceptable salt or solvate thereof.

13. (Previously Presented) A compound of the formula



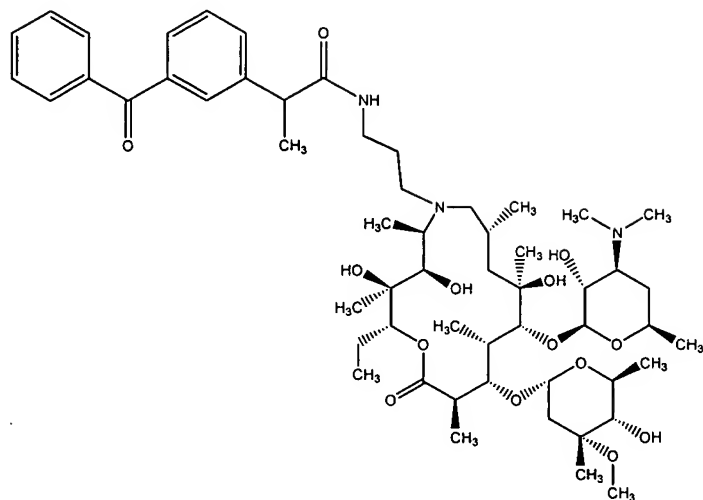
or a pharmaceutically acceptable salt or solvate thereof.

14. (Previously Presented) A compound of the formula



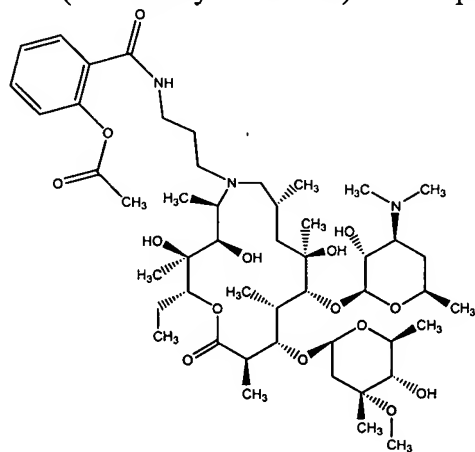
or a pharmaceutically acceptable salt or solvate thereof.

15. (Previously Presented) A compound of the formula



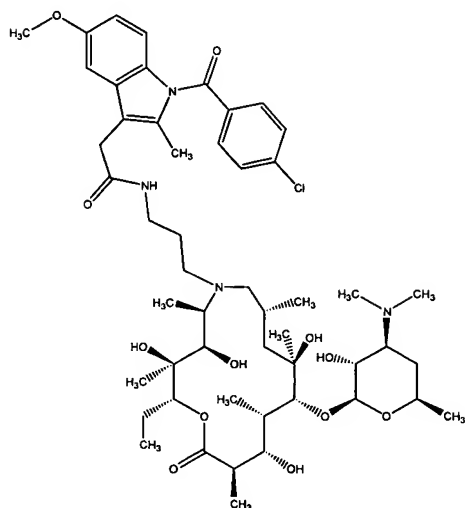
or a pharmaceutically acceptable salt or solvate thereof.

16. (Previously Presented) A compound of the formula



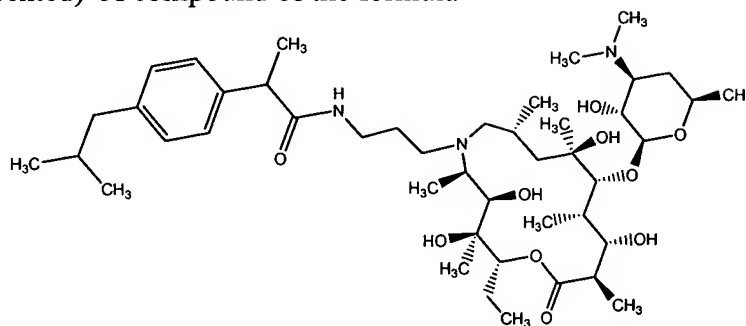
or a pharmaceutically acceptable salt or solvate thereof.

17. (Previously Presented) A compound of the formula



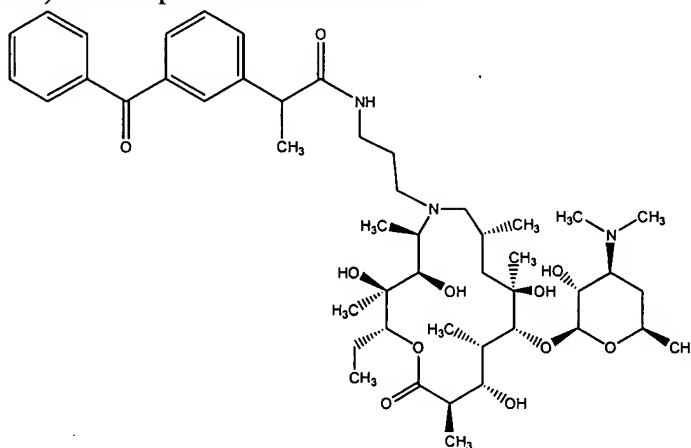
or a pharmaceutically acceptable salt or solvate thereof.

18. (Previously Presented) A compound of the formula



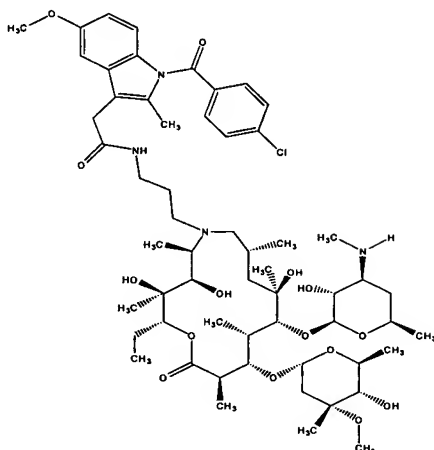
or a pharmaceutically acceptable salt or solvate thereof.

19. (Previously Presented) A compound of the formula



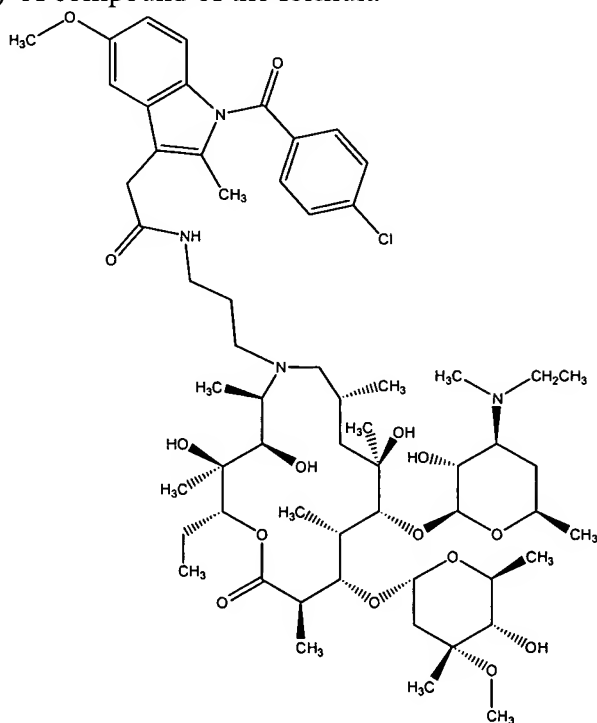
or a pharmaceutically acceptable salt or solvate thereof.

20. (Previously Presented) A compound of the formula



or a pharmaceutically acceptable salt or solvate thereof.

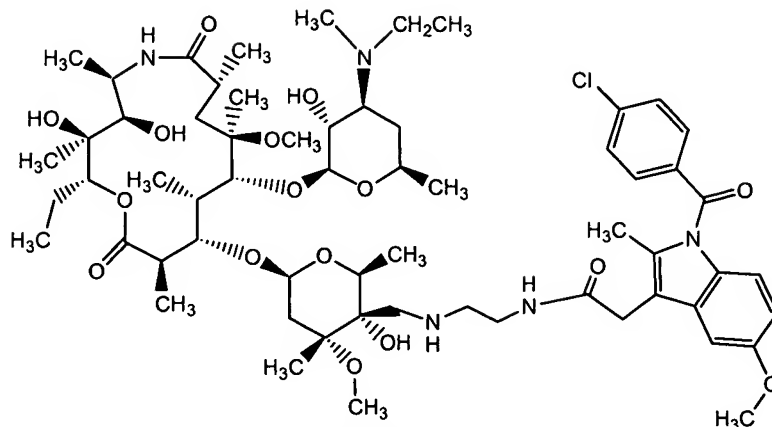
21. (Previously Presented) A compound of the formula



or a pharmaceutically acceptable salt or solvate thereof.

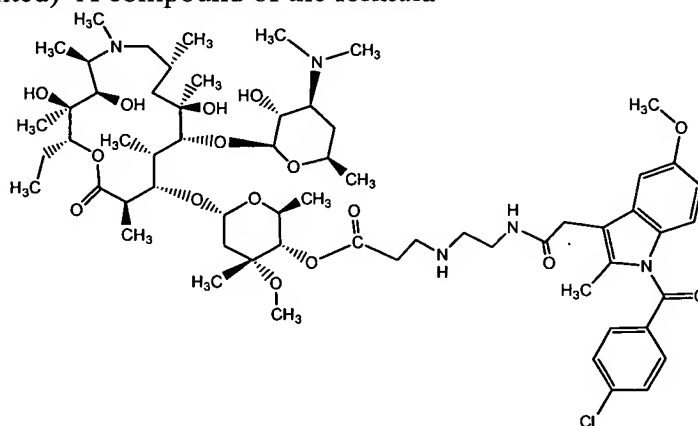
22. (Previously Presented) A compound of the formula





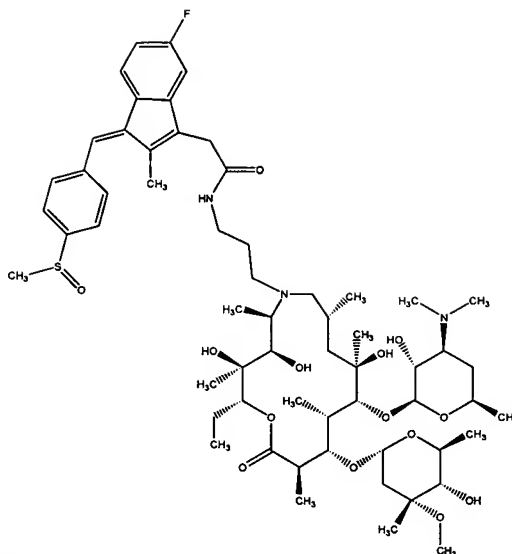
or a pharmaceutically acceptable salt or solvate thereof.

23. (Previously Presented) A compound of the formula



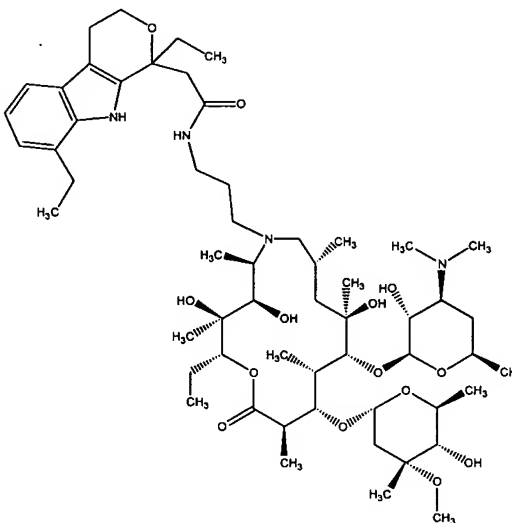
or a pharmaceutically acceptable salt or solvate thereof.

24. (Previously Presented) A compound of the formula



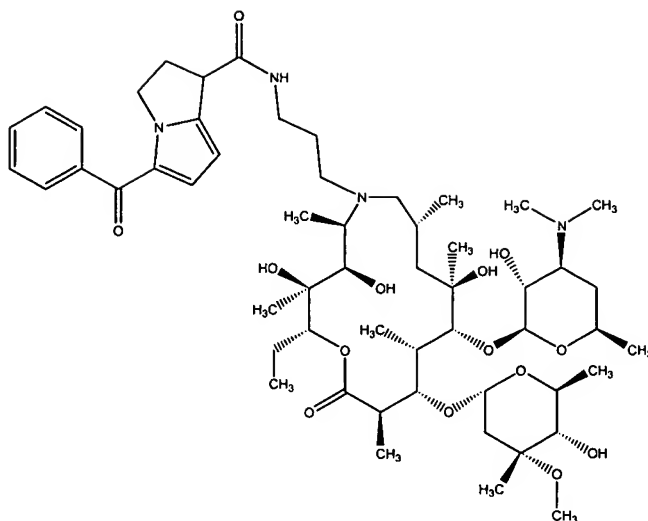
or a pharmaceutically acceptable salt or solvate thereof.

25. (Previously Presented) A compound of the formula



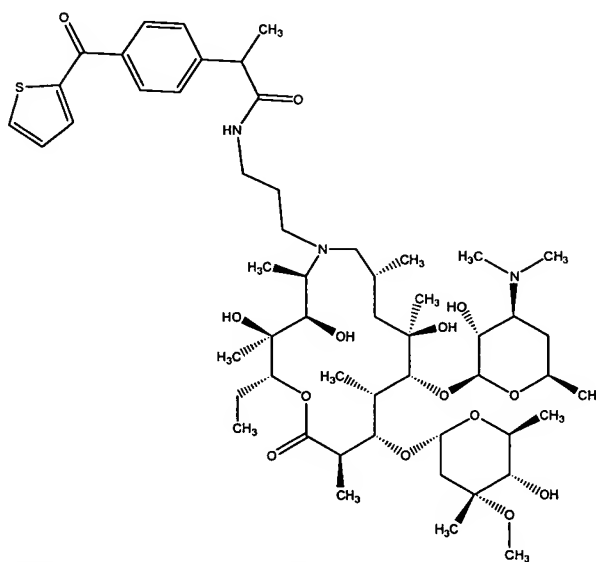
or a pharmaceutically acceptable salt or solvate thereof.

26. (Previously Presented) A compound of the formula



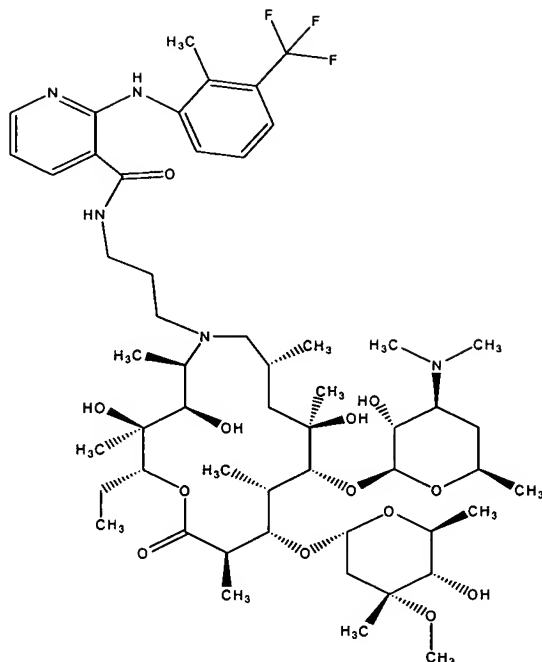
or a pharmaceutically acceptable salt or solvate thereof.

27. (Previously Presented) A compound of the formula



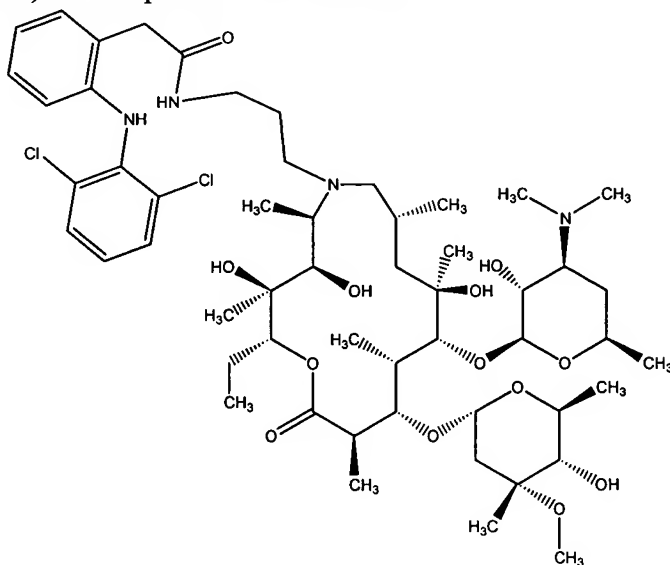
or a pharmaceutically acceptable salt or solvate thereof.

28. (Previously Presented) A compound of the formula



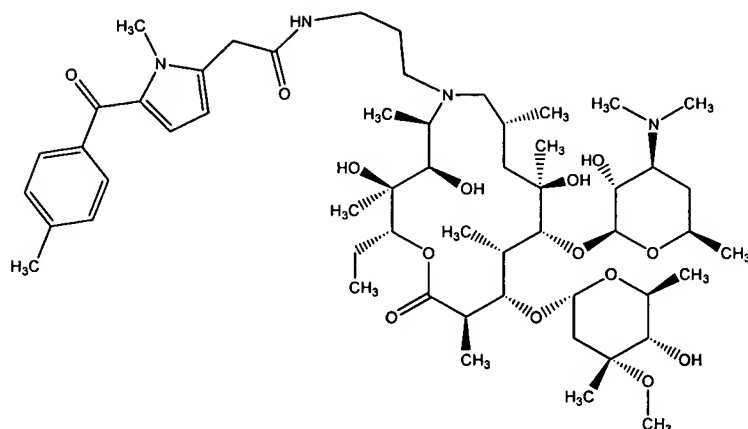
or a pharmaceutically acceptable salt or solvate thereof.

29. (Previously Presented) A compound of the formula



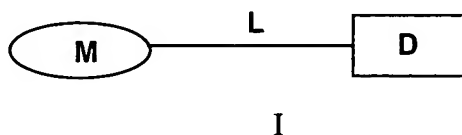
or a pharmaceutically acceptable salt or solvate thereof.

30. (Previously Presented) A compound of the formula



or a pharmaceutically acceptable salt or solvate thereof.

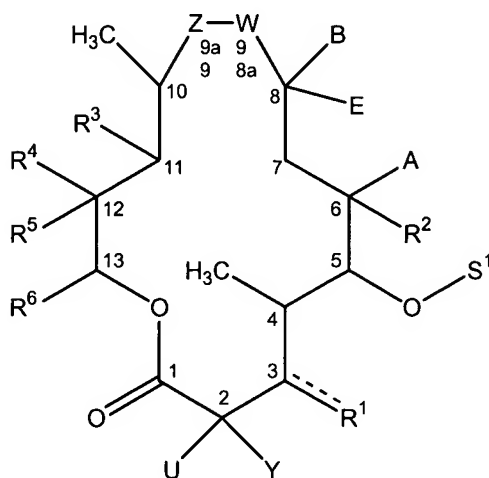
31. (Currently Amended) A process for the preparation a compound of Formula I



wherein

**M** represents a group of

Formula II:



## II

wherein:

Z and W independently are:  $>C=O$ ,  $>CH_2$ ,  $>CH-NR_tR_s$ ,  $>N-R_N$  or  $>C=N-R_M$  or a bond

wherein:

$R_t$  and  $R_s$  independently are hydrogen or alkyl;

$R_M$  is hydroxy, alkoxy, substituted alkoxy or  $OR^P$ ;

R<sub>N</sub> is hydrogen, R<sup>p</sup>, alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, or -C(X)-NR<sub>t</sub>R<sub>s</sub>; wherein X is =O or =S;

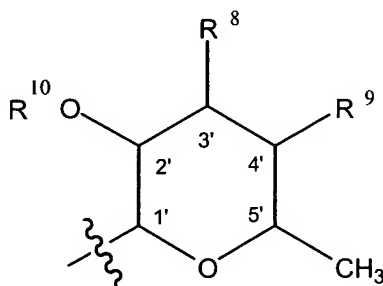
provided that Z and W cannot both simultaneously be,  $>C=O$ ,  $>CH_2$ ,

$$>\text{CH}-\text{NR}_t\text{R}_s, >\text{N}-\text{R}_N \text{ or } >\text{C}=\text{N}-\text{R}_M \text{ or a bond,}$$

U and Y independently are hydrogen, halogen, alkyl, or hydroxyalkyl;

R<sup>1</sup> is hydroxy, OR<sup>p</sup>, -O-S<sup>2</sup> group or an =O;

S<sup>1</sup> is a sugar moiety of formula:



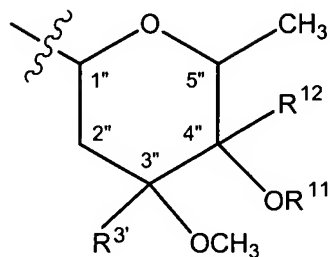
wherein

R<sup>8</sup> and R<sup>9</sup> are both hydrogen or together form a bond, or R<sup>9</sup> is hydrogen and R<sup>8</sup> is -N(CH<sub>3</sub>)R<sup>y</sup>, wherein

R<sup>y</sup> is R<sup>p</sup>, R<sup>z</sup> or -C(O)R<sup>z</sup> wherein R<sup>z</sup> is hydrogen or alkyl or alkenyl or alkynyl or cycloalkyl or aryl or heteroaryl or alkyl substituted with C<sub>2</sub>-C<sub>7</sub>-alkyl, C<sub>2</sub>-C<sub>7</sub>-alkenyl, C<sub>2</sub>-C<sub>7</sub>-alkynyl, aryl or heteroaryl

$R^{10}$  is hydrogen or  $R^p$ ;

S<sup>2</sup> is a sugar moiety of formula :



wherein:

R<sup>3'</sup> is hydrogen or methyl;

R<sup>11</sup> is hydrogen, R<sup>p</sup> or O-R<sup>11</sup> is a group that with R<sup>12</sup> and with C/4" carbon atom forms a >C=O or epoxy group;

R<sup>12</sup> is hydrogen or a group that with O-R<sup>11</sup> group and with C/4" carbon atom forms a >C=O or epoxy group;

R<sup>2</sup> is hydrogen, hydroxy, OR<sup>p</sup> or alkoxy

A is hydrogen or methyl;

B is methyl or epoxy;

E is hydrogen or halogen;

R<sup>3</sup> is hydroxy, OR<sup>p</sup>, alkoxy or R<sup>3</sup> is a group that with R<sup>5</sup> and with C/11 and C/12 carbon atoms forms a cyclic carbonate or carbamate; or if W or Z is >N-R<sub>N</sub> R<sup>3</sup> is a group that with W or Z forms a cyclic carbamate;

R<sup>4</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>5</sup> is hydrogen, hydroxy, OR<sup>p</sup>, C<sub>1</sub>-C<sub>4</sub>-alkoxy, or a group that with R<sup>3</sup> and with C/11 and C/12 carbon atoms forms a cyclic carbonate or carbamate;

R<sup>6</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl; and

R<sup>p</sup> is hydroxyl or amino protective group;

wherein **M** has a linkage site through which it is linked to **D** *via* linking group **L**; provided that the linkage site ~~being~~ is at one or more of the following:

- any reactive hydroxy, nitrogen, or epoxy group located on S<sup>1</sup>, S<sup>2</sup>, or an aglycone oxygen if S<sup>1</sup> or/and S<sup>2</sup> is cleaved off;
- a reactive >N-R<sub>N</sub> or -NR<sub>t</sub>R<sub>s</sub> or =O group located on Z or W;
- a reactive hydroxy group located at any one of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, and R<sup>5</sup>;

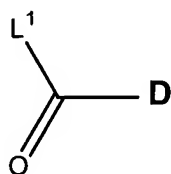




fentiazac, fepradinol, flufenac, flufenamic acid, flunixin, flunoxaprofen, flurbiprofen, glutametacin, glycol salicylate, ibufenac, ibuprofen, ibuproxam, indomethacin, indoprofen, isofezolac, isoxepac, isoxicam, ketoprofen, ketorolac, lornoxicam, loxoprofen, meclofenamic acid, mefenamic acid, meloxicam, mesalamine, metiazinic acid, mofezolac, montelukast, nabumetone, naproxen, niflumic acid, nimesulide, olsalazine, oxaceprol, oxaprozin, oxyphenbutazone, paracetamol, parsalmide, perisoxal, phenyl-acethyl-salicylate, phenylbutazone, phenylsalicylate, pyrazolac, piroxicam, pirprofen, pranoprofen, protizinic acid, reserveratol, salacetamide, salicylamide, salicylamide-O-acetyl acid, salicylsulphuric acid, salicin, salicylamide, salsalate, sulindac, suprofen, suxibutazone, tamoxifen, tenoxicam, tiaprofenic acid, tiaramide, ticlopridine, tinoridine, tolfenamic acid, tolmetin, tropesin, xenbucin, ximoprofen, zaltoprofen, zomepirac, tomoxiprol, zafirlukast and cyclosporin;

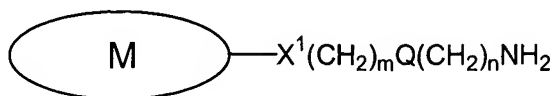
which comprises:

- a) for a compound of Formula I, where  $X^2$  is  $-NHC(O)-$ , by reacting a compound of Formula V:



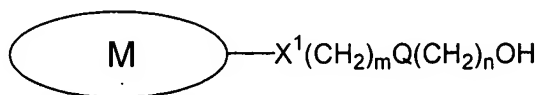
V

wherein  $L^1$  represents a leaving group, and a free amino group of a macrolide represented by Formula VIa:



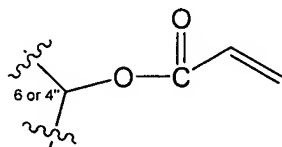
### VIa

- b) for a compound of Formula I, where X<sup>2</sup> is -OC(O)-, by reacting a compound of Formula V and the free hydroxyl group of a macrolide represented by Formula VIb:

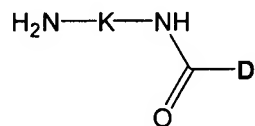


### VIIb

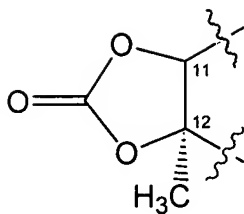
- c) for a compound of Formula I, wherein X<sup>1</sup> is -OC(O)-, Q is -NH- and X<sup>2</sup> is -NHC(O)-, by reacting a macrolide represented by formula:



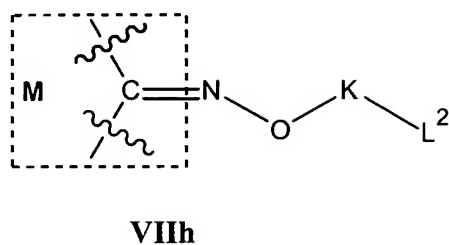
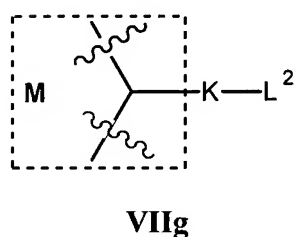
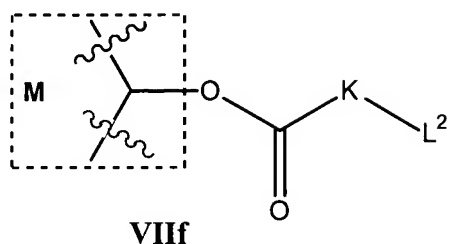
and a free amino group of the compound represented by formula :



- d) for a compound of Formula I, where X<sup>1</sup> is -OC(O)NH- and X<sup>2</sup> is -NHC(O)-, by reacting a macrolide represented by formula


$$\text{H}_2\text{N}-\text{K}-\text{NH}-\text{C}(=\text{O})\text{D}$$
CC(C)OC(=O)N[K+]

f) for a compound of Formula **I** by reacting a macrolide represented by Formula **VIIIf** or by Formula **VIIIg** or by Formula **VIIIf** having a leaving group  $L^2$



with a free carboxylic acid of nonsteroidal anti-inflammatory subunit.

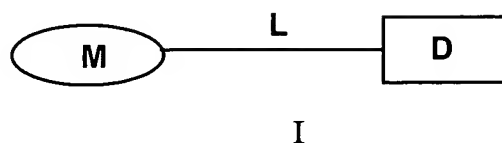
32. (Previously Presented) A pharmaceutical composition comprising a compound according to claim 1 as well as a pharmaceutically acceptable diluent or carrier.

33. (Previously Presented) A method of treating inflammatory diseases, disorders or conditions characterized by or associated with an undesirable inflammatory immune response, and all diseases and conditions induced by or associated with an excessive secretion of TNF- $\alpha$  and IL-1 which comprises administering to a subject in need of treatment a therapeutically effective amount of a compound according to claim 1.

34. (Previously Presented) A method of treating inflammatory conditions or immune or anaphylactic disorders associated with infiltration of leukocytes into inflamed tissue in a subject in need thereof which comprises administering to said subject a therapeutically effective amount of a compound according to claim 1.
35. (Previously Presented) The method according to claim 34, wherein inflammatory conditions and immune disorders are selected from the group consisting of asthma, adult respiratory distress syndrome, bronchitis, and cystic fibrosis.
36. (Previously Presented) A method according to claim 34, wherein said inflammatory conditions and immune disorders are selected from the group consisting of inflammatory conditions or immune disorders of the lungs, joints, eyes, bowel, skin, and heart.
37. (Previously Presented) A method according to claim 34, wherein said inflammatory conditions and immune disorders are selected from the group consisting of asthma, adult respiratory distress syndrome, bronchitis, cystic fibrosis, rheumatoid arthritis, rheumatoid spondylitis, osteoarthritis, gouty arthritis, uveitis, conjunctivitis, inflammatory bowel conditions, Crohn's disease, ulcerative colitis, distal proctitis, psoriasis, eczema, dermatitis, coronary infarct damage, chronic inflammation, endotoxin shock, and smooth muscle proliferation disorders.
38. (Previously Presented) A method for abating inflammation in an affected organ or tissue comprising delivering to said organ or tissue a therapeutically effective amount of a compound according to claim 1.
39. (Previously Presented) A pharmaceutical composition comprising a compound according to claim 2 as well as a pharmaceutically acceptable diluent or carrier.
40. (Previously Presented) A method of treating inflammatory diseases, disorders or conditions characterized by or associated with an undesirable inflammatory immune response, and all diseases



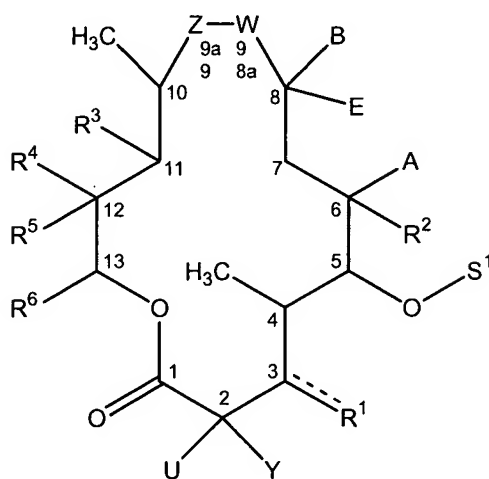
46. (New) A compound of Formula I:



wherein

**M** represents a group of

Formula II:



wherein:

Z and W independently are:  $>C=O$ ,  $>CH_2$ ,  $>CH-NR_tR_s$ ,  $>N-R_N$  or  $>C=N-R_M$  or a bond

wherein:

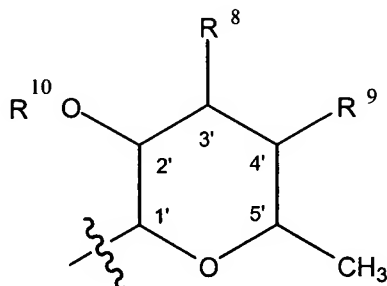
$R_t$  and  $R_s$  independently are hydrogen or alkyl;

$R_M$  is hydroxy, alkoxy, substituted alkoxy or  $OR^p$ ;

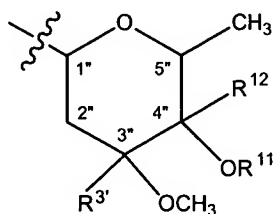
$R_N$  is hydrogen,  $R^p$ , alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, or  $-C(X)-NR_tR_s$ ; wherein X is  $=O$  or  $=S$ ;

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S<sup>1</sup> is a sugar moiety of formula:



S<sup>2</sup> is a sugar moiety of formula :



R<sup>12</sup> is hydrogen or a group that with O-R<sup>11</sup> group and with C/4" carbon atom forms a >C=O or epoxy group;



$R^2$  is hydrogen, hydroxy,  $OR^p$  or alkoxy

A is hydrogen or methyl;

B is methyl or epoxy;

E is hydrogen or halogen;

R<sup>3</sup> is hydroxy, OR<sup>p</sup>, alkoxy or R<sup>3</sup> is a group that with R<sup>5</sup> and with C/11 and C/12 carbon atoms forms a cyclic carbonate or carbamate; or if W or Z is >N-R<sub>N</sub> R<sup>3</sup> is a group that with W or Z forms a cyclic carbamate;

R<sup>4</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>5</sup> is hydrogen, hydroxy, OR<sup>p</sup>, C<sub>1</sub>-C<sub>4</sub>-alkoxy, or a group that with R<sup>3</sup> and with C/11 and C/12 carbon atoms forms a cyclic carbonate or carbamate;

R<sup>6</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl; and

R<sup>p</sup> is hydroxyl or amino protective group;

wherein **M** has a linkage site through which it is linked to **D** *via* linking group **L**; provided that the linkage site is at one or more of the following:

- a) any reactive hydroxy, nitrogen, or epoxy group located on  $S^2$  or an aglycone oxygen when  $S^1$  or/and  $S^2$  is cleaved off; wherein if both  $S^1$  and  $S^2$  are cleaved off, D cannot be acetyl salicylic acid;
- b) a reactive  $>N-R_N$  or  $-NR_tR_s$  or  $=O$  group located on Z or W; wherein if Z is  $-N(R_N)$  and W is  $-CH_2$ , and M is linked to D  $R_N$ , D can not be meclofenamic acid or ibuprofen; and
- c) a reactive hydroxy group located at any one of  $R^2$ ,  $R^3$ , and  $R^5$ ;

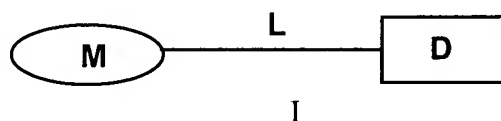
**D** is derived from the NSAIDs selected from the group consisting of: aceclofenac, acemetacin, acetaminophen, acetaminosalol, acetyl-salicylic acid, acetyl-salicylic-2-amino-4-picoline-acid, 5-aminoacetylsalicylic acid, alclofenac, aminoprofen, amfenac, ampyrone, ampiroxicam, anileridine, bendazac, benoxaprofen, bermoprofen,  $\alpha$ -bisabolol, bromfenac, 5-bromosalicylic acid acetate, bromosaligenin, bucloxic acid, butibufen, carprofen, celexocib, chromoglycate, cinmetacin, clindanac, clopirac, sodium diclofenac, diflunisal, ditazol, droxicam, enfenamic acid, etodolac, etofenamate, felbinac, fenbufen, fenclozic acid, fendosal, fenoprofen, fentiazac, fepradinol, flufenac, flufenamic acid, flunixin, flunoxaprofen, flurbiprofen, glutametacin, glycol salicylate, ibufenac,

ibuprofen, ibuproxam, indomethacin, indoprofen, isofezolac, isoxepac, isoxicam, ketoprofen, ketorolac, lornoxicam, loxoprofen, meclofenamic acid, mefenamic acid, meloxicam, mesalamine, metiazinic acid, mofezolac, montelukast, nabumetone, naproxen, niflumic acid, nimesulide, olsalazine, oxaceprol, oxaprozin, oxyphenbutazone, paracetamol, parsalmide, perisoxal, phenyl-acethyl-salicylate, phenylbutazone, phenylsalicylate, pyrazolac, piroxicam, pirprofen, pranoprofen, protizinic acid, reserveratol, salacetamide, salicylamide, salicylamide-O-acetyl acid, salicylsulphuric acid, salicin, salicylamide, salsalate, sulindac, suprofen, suxibutazone, tamoxifen, tenoxicam, tiaprofenic acid, tiaramide, ticlopridine, tinoridine, tolfenamic acid, tolmetin, tropesin, xenbucin, ximoprofen, zaltoprofen, zomepirac, tomoxiprol, zafirlukast and cyclosporin;

**L** is a linker molecule to which each of **M** and **D** are covalently linked;

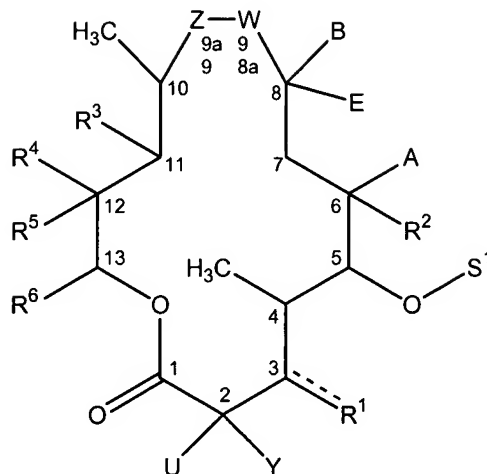
or a pharmaceutically acceptable salt or solvate thereof, or an individual diastereoisomer thereof.

47. (New) A compound of the Formula I



wherein **M** represents a group of

Formula II:



## II

wherein:

Z and W independently are:  $>C=O$ ,  $>CH_2$ ,  $>CH-NR_tR_s$ ,  $>N-R_N$  or  $>C=N-R_M$  or a bond

wherein:

$R_t$  and  $R_s$  independently are hydrogen or alkyl;

$R_M$  is hydroxy, alkoxy, or  $OR^p$ ;

$R_N$  is hydrogen,  $R^p$ , alkyl, alkoxy, alkoxyalkyl, or  $-C(X)-NR_tR_s$ ; wherein X is =O or =S;

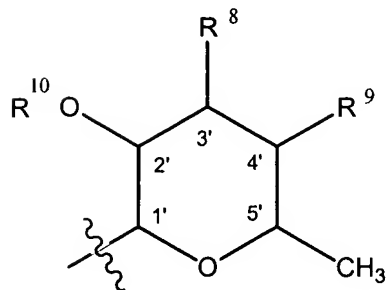
provided that Z and W cannot both simultaneously be,  $>C=O$ ,  $>CH_2$ ,

$$>\text{CH}-\text{NR}_t\text{R}_s, >\text{N}-\text{R}_N \text{ or } >\text{C}=\text{N}-\text{R}_M \text{ or a bond,}$$

U and Y independently are hydrogen, halogen, alkyl, or hydroxyalkyl;

R<sup>1</sup> is hydroxy, OR<sup>p</sup>, -O-S<sup>2</sup> group or an =O;

S<sup>1</sup> is a sugar moiety of formula:





wherein **M** has a linkage site through which it is linked to **D** via linking group **L**; provided that the linkage site being at one or more of the following:

- a) any reactive hydroxy, nitrogen, or epoxy group located on  $S^2$ , the C4' position of  $S^1$ , or an aglycone oxygen when  $S^1$  or/and  $S^2$  is cleaved off; wherein if both  $S^1$  and  $S^2$  are cleaved off, **D** can not be acetyl salicylic acid;
- b) a reactive  $>N-R_N$  or  $-NR_tR_s$  or  $=O$  group located on **Z** or **W**; wherein if **Z** is  $-N(R_N)$  and **W** is  $-CH_2$ , and **M** is linked to **D** via  $R_N$ , **D** can not be meclofenamic acid or ibuprofen;
- c) a reactive hydroxy group located at any one of  $R^2$ ,  $R^3$ , and  $R^5$ ;

**D** is derived from the NSAIDs selecting from the group consisting of: aceclofenac, acemetacin, acetaminophen, acetaminosalol, acetyl-salicylic acid, acetyl-salicylic-2-amino-4-picoline-acid, 5-aminoacetylsalicylic acid, alclofenac, aminoprofen, amfenac, ampyrone, ampiroxicam, anileridine, bendazac, benoxaprofen, bermoprofen,  $\alpha$ -bisabolol, bromfenac, 5-bromosalicylic acid acetate, bromosaligenin, bucloxic acid, butibufen, carprofen, celexocib, chromoglycate, cinmetacin, clindanac, clopirac, sodium diclofenac, diflunisal, ditazol, droxicam, enfenamic acid, etodolac, etofenamate, felbinac, fenbufen, fenclozic acid, fendosal, fenoprofen, fentiazac, fepradinol, flufenac, flufenamic acid, flunixin, flunoxaprofen, flurbiprofen, glutametacin, glycol salicylate, ibufenac, ibuprofen, ibuproxam, indomethacin, indoprofen, isofezolac, isoxepac, isoxicam, ketoprofen, ketorolac, lornoxicam, loxoprofen, meclofenamic acid, mefenamic acid, meloxicam, mesalamine, metiazinic acid, mofezolac, montelukast, nabumetone, naproxen, niflumic acid, nimesulide, olsalazine, oxaceprol, oxaprozin, oxyphenbutazone, paracetamol, parsalmide, perisoxal, phenyl-acethyl-salicylate, phenylbutazone, phenylsalicylate, pyrazolac, piroxicam, pirprofen, pranoprofen, protizinic acid, reserveratol, salacetamide, salicylamide, salicylamide-O-acetyl acid, salicylsulphuric acid, salicin, salicylamide, salsalate, sulindac, suprofen, suxibutazone, tamoxifen, tenoxicam, tiaprofenic acid, tiaramide, ticlopridine, tinoridine, tolfenamic acid, tolmetin, tropesin, xenbucin, ximoprofen, zaltoprofen, zomepirac, tomoxiprol, zafirlukast and cyclosporin;

**L** is a linker molecule to which each of **M** and **D** are covalently linked;  
or a pharmaceutically acceptable salt or solvate thereof.